

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter II of the Patent Cooperation Treaty)

REC'D 10 JAN 2005

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 100953-1 WO	FOR FURTHER ACTION	
See Form PCT/IPEA/416		
International application No. PCT/GB2004/000061	International filing date (day/month/year) 13.01.2004	Priority date (day/month/year) 16.01.2003
International Patent Classification (IPC) or national classification and IPC C07D409/06, C07D405/06, C07D211/70, C07D401/06, C07D417/06, C07C311/08, A61K31/4436, A61K31/443, A61K31/44, A61K31/444, A61K31/4439, A61P25/04, A61P25/22, A61P1/04		
Applicant ASTRAZENECA AB		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 5 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> <i>(sent to the applicant and to the International Bureau) a total of sheets, as follows:</i></p> <ul style="list-style-type: none"> <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. <p>b. <input type="checkbox"/> <i>(sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</i></p>
<p>4. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> <input checked="" type="checkbox"/> Box No. I Basis of the opinion <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input type="checkbox"/> Box No. VIII Certain observations on the international application

Date of submission of the demand 23.07.2004	Date of completion of this report 07.01.2005
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Cortés, J Telephone No. +49 89 2399-8206



**INTERNATIONAL PRELIMINARY REPORT
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International application No.
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Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
 - This report is based on translations from the original language into the following language, which is the language of a translation furnished for the purposes of:
 - international search (under Rules 12.3 and 23.1(b))
 - publication of the international application (under Rule 12.4)
 - international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

Description, Pages

1-45 as originally filed

Claims, Numbers

1-11 as originally filed

Claims, Pages

46-50 as originally filed

- a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. The amendments have resulted in the cancellation of:
 - the description, pages
 - the claims, Nos.
 - the drawings, sheets/figs
 - the sequence listing (*specify*):
 - any table(s) related to sequence listing (*specify*):
4. This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
 - the description, pages
 - the claims, Nos.
 - the drawings, sheets/figs
 - the sequence listing (*specify*):
 - any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-11
	No: Claims	
Inventive step (IS)	Yes: Claims	1-11
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-11
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

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Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

The following prior art was cited in the International Search Report:

D1: WO 02/094812 A (ASTRAZENECA AB (SE)) 28 November 2002 (2002-11-28)

D2: WO 02/094786 A (ASTRAZENECA (CA)) 28 November 2002 (2002-11-28)

D3: WO 93/15062 A (WELLCOME FOUND (GB)) 5 August 1993 (1993-08-05)

D4: WO 98/28275 A (ASTRA PHARMA (US)) 2 July 1998 (1998-07-02)

Novelty (Article 33(2) PCT)

The present compounds differ from the compounds in D1 and D2 in the SO₂R₄ group, from the compounds in D3 in the exocyclic ethylidene double bond and from the compounds in D4 in the position of the sulfonylamino group.

Inventive Step (Article 33(3) PCT)

D1-D4 disclose delta opioid receptor ligands. D1 can be regarded as the closest prior art.

The problem of the invention was the provision of new delta opioid receptor ligands.

The present compounds differ from the compounds in D1 in the SO₂R₄ group.

D3 discloses generically as well in one example compounds with a sulfonylamino group. However, the preferred compounds and most of the examples in D3 are piperazinyl derivatives, such as e.g. example 35, which is the only example with a sulfonylamino substituent (some other examples, like e.g. example 54, disclose compounds, wherein the sulfonamido group has a different orientation as in the present compounds).

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If a skilled person had combined the general teaching of D1 with D3 he could have arrived at e.g. piperazinyl derivatives with a substitution pattern like the compounds of D1, but he would not have been prompted to select the specific compound of example 35 out of the many examples in D3 as well as one specific structural feature of this example (i.e. the sulfonylamino group), which is what would have been necessary in order to arrive at the present compounds.

D4 also discloses generically compounds with a sulfonylamino group, but contains no examples with such a group.

If a skilled person had combined D1 with D4 he would have learned that it is possible to replace the carboxamid group of the compounds in D1 by related functional groups such as e.g. sulfonylamino groups. He would not have been prompted to replace the amino group in the compounds of D1 by a sulfonylamino group.

The same reasoning applied for combinations of D2 with D3 or D4.

The present invention is therefore not obvious in view of D1 or D2 in combination of with D3 or D4.